Figure 1.

$$H_{3}C$$
 O_{1} O_{1} O_{2} O_{3} O_{4} O_{1} O_{1} O_{2} O_{3} O_{4} O_{5} $O_{$

Bioconjugatable analog (2) R =

80%; (e) (i) MeOTf, di-r-butylpyridine, Et₂O:CH₂Cl₂ (2:1), 4 Å MS (55%), (ii) K₂CO₃, MeOH (85%); (f) (i) MeOTf, di-r-butylpyridine, Et₂O:CH₂Cl₂ I(coll)₂CIO₄, PhSO₂NH₂, 4 Å molecular sieves, CH₂Cl₂, > 90%; (iii) LHMDS, EISH, DMF (iv) Ac₂O, El₃N, DMAP, CH₂Cl₂, 85%; (d) K₂CO₃, MeOH (ii) I(coll)₂CIO₄, PhSO₂NH₂, 4 Å molecular sieves, CH₂Cl₂, > 90%; (iii) LHMDS, EtSH, DMF > 90% (c) (i) Ac₂O, Et₃N, DMAP, CH₂Cl₂, 95%; (ii) ^a Reagents: (a) (i) 3,3-dimethyldioxirane, CH₂Cl₂; (ii) 4 or 5, ZnCl₂, THF 65% for 6 & 55% for 7; (b) (i) TESOTf, Et₃N, CH₂Cl₂, 92%, (2:1), 4 Å MS (60%); (ii) Ac₂O, Py, DMAP, CH₂Cl₂ (95%); (g) TBAF:AcOH (93%).

(iii) Ac₂O, Py, CH₂Cl₂ 60% (3 steps) (c) 17, MeOTf, Et₂O:CH₂Cl₂ (2:1), 4 Å MS (55%); (d) (i) Lindlar's catalyst, H₂, palmitic anhydride, EtOAc, 85% (ii) Na, NH3, THF; (MeOH quench); (iii) Ac2O, Et2N, DMAP, CH2Cl2 (iv) MeONa, MeOH, 70% (3 steps); (e) (i) Na, NH3, THF; a Reagents: (a) 14, Sn(OTf)2, Tol:THF(10:1), 4 Å MS (60%); (b) (i) 3,3-dimethyldioxirane, CH2Cl2; (ii) EtSH, CH2Cl2, H⁺ (cat); (MeOH quench); (ii) Ac2O, Et3N, DMAP, CH2Cl2; (iii) 3,3-dimethyldioxirane, CH2Cl2; (iv) Allyl Alcohol (v) MeONa, MeOH, 60%...



Donor for Le^X Part

က

Donor for Lea Part

S

12

13

T

2 + 2 Coupling for Major N3 Antigen

21

PhSO₂HìN

BnQ





22

2 + 4 and +1,1 Coupling

 H_3C OBn OBn OBn OBn OBn OBn OBn

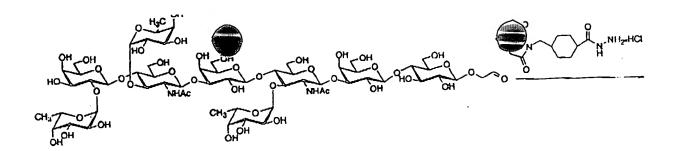
Deprotection for major-N3 Epitope

- 1) Na/ NH₃, then Ac₂O/ Et₃N/ DMAP
- 2) DMDO, then allyl alcohol
- 3) NaOMe

1-1-

Direct Coupling of KH-1 to KLH

Fig. 12



Cross linker coupling of KH-1 to KLH